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L15 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN AN 2004:534196 CAPLUS Full-text DN 141:89125
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TI Preparation of oxodiazepanylquinazolinones as modulators of KSP kinesin activity for treatment of proliferative disease.

IN Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven David; Lu, Pu Ping;
Morgans, David J., Jr.; Newlander, Kenneth Allen

PA Smithkline Beecham Corporation, USA; Cytokinetics

SO PCT Int. Appl., 69 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND DATE | APPLICATION NO. | | | |
|------|------------------|-----------------|-----------------------|--------------------|--|--|
| ΡI | WO 2004055008 | | WO 2003-US39708 | | | |
| | | | BZ, CA, CN, CO, CR, C | | | |
| | | • | IN, IS, JP, KP, KR, I | | | |
| | | | NZ, OM, PH, PL, RO, S | | | |
| | UA, US, UZ, | VN, YU, ZA | | | | |
| | RW: BW, GH, GM, | KE, LS, MW, MZ, | SD, SL, SZ, TZ, UG, Z | M, ZW, AM, AZ, | | |
| | | | AT, BE, BG, CH, CY, C | | | |
| | | | IT, LU, MC, NL, PT, F | | | |
| | TR, BF, BJ, | CF, CG, CI, CM, | GA, GN, GQ, GW, ML, M | IR, NE, SN, TD, TG | | |
| | | | AU 2003-299612 | | | |
| | EP 1581520 | A1 20051005 | EP 2003-799901 | 20031212 | | |
| | R: AT, BE, CH, | DE, DK, ES, FR, | GB, GR, IT, LI, LU, N | IL, SE, MC, PT, | | |
| | IE, SI, LT, | LV, FI, RO, MK, | CY, AL, TR, BG, CZ, E | E, HU, SK | | |
| | US 2006052360 | A1 20060309 | US 2005-538228 | 20050608 | | |
| PRAI | US 2002-433494P | P 20021213 | | | | |
| | US 2002-435001P | P 20021219 | | | | |
| | WO 2003-US39708 | W 20031212 | | | | |
| OS | MARPAT 141:89125 | | | | | |
| GI | | | | | | |

Title compds. [I; R1-R4 = H, halo, OH, NO2, cyano, (substituted) alkyl, alkoxy, aryl, heteroaryl, etc.; R5, R51 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; R5R51C = 3-7 membered carbocyclyl; R6 = H, (substituted) alkyl, aryl, aralkylo, heteroaryl, heteroaralkyl; R7, R71, R8, R81, R9, R91 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; X, Y = CR10R11, NR12, O, S; R10, R11 = H, (substituted) alkyl, aryl, heteroaryl; R12 = H, (substituted) alkyl, aralkyl, heteroaralkyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, alkoxycarbonyl, etc.], were prepared Thus, N-(2-aminoethyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]acrylamide (preparation given) was refluxed overnight in MeOH to give 3-benzyl-7-chloro-2-[2-methyl-1-(7-oxo-1,4-diazepan-1-yl)propyl]-3H-quinazolin-4-one. Some I inhibited cell proliferation with GI50 <10 nM.

IT 713526-19-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(claimed compound; preparation of oxodiazepanylquinazolinones as modulators of KSP kinesin activity)

RN 713526-19-3 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

TT 713526-20-6P 713526-21-7P 713526-22-8P 713526-23-9P 713526-24-0P 713526-25-1P 713526-26-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (claimed compound; preparation of oxodiazepanylquinazolinones as modulators of KSP kinesin activity)

RN 713526-20-6 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-4-methyl-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 713526-21-7 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[(1R)-1-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 713526-22-8 CAPLUS

CN 5H-1,4-Diazepin-5-one, 1-acetyl-4-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]hexahydro- (9CI) (CA INDEX NAME)

RN 713526-23-9 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-3,3-dimethyl-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 713526-24-0 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-[hexahydro-7-oxo-4-(phenylmethyl)-1H-1,4-diazepin-1-yl]-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 713526-25-1 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 713526-26-2 CAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-6,6-dimethyl-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L15 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
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AN 2000:573666 CAPLUS Full-text

DN 133:164010

TI Preparation of caprolactams, piperidinones, and pyrrolidinones as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals

IN Stein, Philip D.; Bisacchi, Gregory S.; Shi, Yan; O'Connor, Stephen P.;
Li, Chi

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 284 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| 21200 | PATENT NO. | | | KIND DATE | | | APPLICATION NO. | | | | | | | | | | | |
|-------|---------------|------|------|-----------|-----|----------------|-----------------|------|------|----------------|-------|------|----------|-----|-----|-----|------|-----|
| ΡI | WO 2000047207 | | A1 | | | WO 2000-US2883 | | | | | | | | | | | | |
| | | W: | ΑE, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, |
| | | | | | | | | ES, | | | | | | | | | | |
| | | | | | | | | KP, | | | | | | | | | | |
| | | | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, |
| | | | | | | | | TT, | | | | | | | | | | |
| | | | KG, | KZ, | MD, | RU, | ТJ, | MT | | | | | | | | | | |
| | | RW: | GH, | GM, | ΚE, | LS, | MW, | SD, | SL, | SZ, | TZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, | DÉ, |
| | | | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, |
| | | | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | |
| | CA | 2360 | 305 | | | AΑ | | 2000 | 0817 | (| CA 2 | 000- | 2360 | 305 | | 20 | 0000 | 202 |
| | US | 6297 | 233 | | | В1 | | 2001 | 1002 | 1 | US 20 | 000- | 4965 | 71 | | 20 | 0000 | 202 |
| | EP | 1156 | 803 | | | A1 | | 2001 | 1128 | EP 2000-914505 | | | 20000202 | | 202 | | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | • | • | • | LV, | • | RO | | | | | | | | | | |
| | | 7601 | | | | | | 2003 | | 1 | AU 20 | 000- | 3588 | 7 | | 20 | 0000 | 202 |
| PRAI | | 1999 | | | | | | | | | | | | | | | | |
| | | 1999 | | | | | | | | | | | | | | | | |
| | | 2000 | | | | W | | 2000 | 0202 | | | | | | | | | |
| os | MA | RPAT | 133: | 1640 | 10 | | | | | | | | | | | | | |
| GI | | | | | | | | | | | | | | | | | | |

Ι

AB Title chiral compds. [I; R = CN, CONH2, COOCH2CH3, COC6H5, SO2NH2, OCH3, SO2N(CH3)2, SO2CH3, arylsulfonyl, heterocyclosulfonyl, (un)substituted Ph, heterocyclyl, heterocycleocarbonyl, alkoxylcarbonyl, arylaminocarbonyl; R1 = H, arylalkyl; R2 = alkyl, (un)substituted Ph, benzoheterocyclyl, cyclopentyl; R3 = heterocyclylamino, heterocyclyl, alkoxy, cycloalkylamino, OH; n = 0, 1, 2], pharmaceutically acceptable salts, and stereoisomers are pred. as Factor

II

Xa inhibitors and are useful as anticoagulants (no data). A method for treating cardiovascular diseases associated with thromboses is also provided. Thus, the title compound II was prepared

IT 288075-92-3P 288079-50-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of caprolactams as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals)

RN 288075-92-3 CAPLUS

CN Pyrrolidine, 1-[[(3S)-3-[[(cyanoamino)[(1,4-dihydro-4-oxo-6-quinazolinyl)amino]methylene]amino]hexahydro-2-oxo-1H-azepin-1-yl]acetyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 288079-50-5 CAPLUS

CN Benzamide, N-[[(1,4-dihydro-4-oxo-6-quinazolinyl)amino][[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino]methylene](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L15 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
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AN 1999:661888 CAPLUS Full-text

- DN 132:60611
- TI The reactivity of the 2-deoxyribonolactone lesion in single-stranded DNA and its implication in reaction mechanisms of DNA damage and repair
- AU Hwang, Jae-Taeg; Tallman, Keri A.; Greenberg, Marc M.
- CS Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA
- SO Nucleic Acids Research (1999), 27(19), 3805-3810 CODEN: NARHAD; ISSN: 0305-1048
- PB Oxford University Press
- DT Journal
- LA English
- The formal C1'-oxidation product, 2-deoxyribonolactone, is formed as a result AB of DNA damage induced via a variety of agents, including γ -radiolysis and the enediyne antitumor antibiotics. This alkaline labile lesion may also be an intermediate during DNA damage induced by copper-phenanthroline. Oligonucleotides containing this lesion at a defined site were formed via aerobic photolysis of oligonucleotides containing a photolabile ketone, and were characterized by gel electrophoresis and electrospray mass spectrometry (ESI-MS). Treatment of oligonucleotides containing the lesion with secondary amines produces strand breaks consisting of 3'-phosphate termini, and products which migrate more slowly in polyacrylamide gels. MALDI-TOF mass spectrometry anal. indicates that the slower moving products are formal adducts of the β elimination product resulting from 2-deoxyribonolactone and one mol. of amine. The addition of β -mercapto-ethanol to the reaction mixture produces thiol adducts as well. The stability of these adducts suggests that they cannot be the labile species characterized by gel electrophoresis in copperphenanthroline-mediated strand scission. The characterization of these adducts by mass spectrometry also provides, by analogy, affirmation of proposals regarding the reactivity of nucleophiles with the β -elimination product of abasic sites. Finally, the effects of this lesion and the various adducts on DNA repair enzymes are unknown, but their facile generation from oligonucleotides containing a photolabile ketone suggests that such issues could be addressed.

IT 252667-51-9P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(reactivity of 2-deoxyribonolactone lesion in single-stranded DNA and its implication in reaction mechanisms of DNA damage and repair)

RN 252667-51-9 CAPLUS

CN 3'-Adenylic acid, 2'-deoxyadenylyl- $(3'\rightarrow 5')$ -thymidylyl-

 $(3'\rightarrow5')-2'-deoxyadenylyl-(3'\rightarrow5')-2'-deoxyguanylyl-$

 $(3'\rightarrow5')-2'-deoxycytidylyl-(3'\rightarrow5')-2'-deoxyguanylyl-$

 $(3'\rightarrow 5')-2'-deoxy-$, 3'-[2-(hexahydro-1,4-dimethyl-7-oxo-1H-1,4-diazepin-5-yl)-2-hydroxyethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

____NH2

NH2

PAGE 3-B

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:665082 CAPLUS Full-text

DN 127:293592

TI Efficient Syntheses of Pyrofolic Acid and Pteroyl Azide, Reagents for the Production of Carboxyl-Differentiated Derivatives of Folic Acid

AU Luo, Jin; Smith, Michael D.; Lantrip, Douglas A.; Wang, Susan; Fuchs, P. L.

CS Department of Chemistry, Purdue University, West Lafayette, IN, 47907, USA

I

SO Journal of the American Chemical Society (1997), 119(42), 10004-10013 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 127:293592

GI

$$\begin{array}{c|c} & \circ & \circ \\ & & \\$$

AB Reaction of folic acid (I; R = L-Glu-OH) with excess trifluoroacetic anhydride provides access to both the previously unknown N10-(trifluoroacetyl)pyrofolic acid (II; R1 = COCF3) and pyrofolic acid (II; R1 = H). Reaction of either of these materials with hydrazine selectively affords pteroyl hydrazide (I; R = NHNH2), which may be oxidized to pteroyl azide (I; R = N3) on a large scale (62% overall from folic acid without the need for chromatog.). Treatment of I (R = N3) with differentially protected glutamates provides a convenient and high-yielding synthesis of differentially protected, optically pure folates.

IT 197151-84-1P

II

RL: BYP (Byproduct); PREP (Preparation)

(efficient syntheses of pyrofolic acid and pteroyl azide as reagents for the production of carboxyl-differentiated folic acid derivs.)

RN 197151-84-1 CAPLUS

CN Benzamide, 4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl]amino]-N-[3-(hexahydro-2-oxo-1H-azepin-1-yl)propyl]- (9CI) (CA INDEX NAME)

RE.CNT 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:435622 CAPLUS Full-text

DN 121:35622

TI Angiotensin II receptor antagonist 2,3,6-substituted quinazolinones

IN Albright, Jay D.

PA American Cyanamid Co., USA

SO U.S., 33 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|--|------|----------------------|-----------------|----------|--|--|
| | | | | | | |
| PI US 5288720 PRAI US 1993-52940 OS MARPAT 121:35622 GI | Α | 19940222 19930423 | US 1993-52940 | 19930423 | | |

AB The title compds. [I; R6 = (un)substituted heterocyclylalkyl; X = (un)branched C3-5 alkyl], useful for the treatment of hypertension and congestive heart failure, are prepared Thus, I (R6 = Q, X = Bu), was prepared and demonstrated beef adrenal gland-derived angiotensin II receptor binding (IC50) of 13.0 X 10-8 M.

IT 155399-94-3P 155399-95-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as angiotensin II receptor antagonist)

RN 155399-94-3 CAPLUS

CN 4(3H)-Quinazolinone, 2-butyl-6-[1-(hexahydro-1-methyl-2-oxo-1H-azepin-3-yl)-1-hydroxyethyl]-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 155399-95-4 CAPLUS

CN 4(3H)-Quinazolinone, 2-butyl-6-[1-(hexahydro-1-methyl-2-oxo-1H-azepin-3-yl)-1-hydroxyethyl]-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L15 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:571368 CAPLUS Full-text

DN 117:171368

TI Synthesis of 5-fluoro-2-methyl-3-(2-trifluoromethyl-1,3,4-thiadiazol-5-yl)-4(3H)-quinazolinone and related compounds with potential antiviral and anticancer activity

AU Parkanyi, Cyril; Yuan, Hui Liang; Stroemberg, Bo H. E.; Evenzahav, Ariella

CS Dep. Chem., Florida Atlantic Univ., Boca Raton, FL, 33431-0991, USA

SO Journal of Heterocyclic Chemistry (1992), 29(4), 749-53 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 117:171368 ·

GΙ

$$X^{1}$$
 X^{2}
 X^{2}
 X^{4}
 X^{2}
 X^{4}
 X^{5}
 X^{4}
 X^{6}
 X^{7}
 X^{7

The synthesis of ten new substituted 1,3,4-thiadiazolyl-4(3H)- quinazolinones I (X1 = X2 = H, Br, X3 = F, Cl, X4 = CF3, CMe3, Et, cyclopropyl) and II is reported. Compds. I (where X1 = X2 = H, X3 = F) were prepared by condensation of 5-fluoro-2-methyl-3,1-benzoxazin-4-one (3) and 5-substituted 2-amino-1,3,4-thiadiazoles. Compound II was obtained by condensation of 3 with DL-α-amino-ε-caprolactam (12). Compound I (X1 = Br, X2 = X3 = H, X4 = CMe3) was synthesized by condensation of 6-bromo-2-methyl-3,1-benzoxazin-4-one (16) and 2-amino-5-t-butyl-1,3,4-thiadiazole (5). Compds. I (X1 = X2 = Br, X3 = Cl) were obtained by condensation of 5-chloro-6,8-dibromo-2-methyl-3,1-benzoxazin-4-one (19) and 5-substituted 2-amino-1,3,4-thiadiazoles, resp. The substituted 3,1-benzoxazine-4-ones, e.g., III, 16, and 19 were obtained in good yield by refluxing the appropriate anthranilic acid, e.g., IV, with acetic anhydride.

IT 143769-23-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 143769-23-7 CAPLUS

CN 4(3H)-Quinazolinone, 5-fluoro-3-(hexahydro-2-oxo-1H-azepin-3-yl)-2-methyl-(9CI) (CA INDEX NAME)

$$\bigvee_{F}^{N}\bigvee_{M}^{Me}$$

L15 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:23978 CAPLUS Full-text

DN 114:23978

TI Preparation of quinazolinone derivatives as anti-tumor agents

IN Hughes, Leslie Richard; Oldfield, John; Pegg, Stephen John; Barker, Andrew John; Marsham, Peter Robert

PA Imperial Chemical Industries PLC, UK; National Research Development Corp.

SO Eur. Pat. Appl., 65 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| FAN. | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|-----------|----------|------------------------|----------|
| ΡI | EP 373891 | A2 | 19900620 | EP 1989-312986 | 19891212 |
| | EP 373891 | A3 | 19901205 | | |
| | EP 373891 | B1 | 19941102 | | |
| | R: AT, BE, CH, | DE, ES | FR, GB, | GR, IT, LI, LU, NL, SE | |
| | NO 8904692 | Α | 19900618 | NO 1989-4692 | 19891124 |
| | AU 8945883 | A1 | 19900621 | AU 1989-45883. | 19891204 |
| | ZA 8909481 | Α | 19900829 | ZA 1989-9481 | 19891212 |
| | ES 2063830 | Т3 | 19950116 | ES 1989-312986 | 19891212 |
| | GB 2227016 | A1 | 19900718 | GB 1989-28146 | 19891213 |
| | GB 2227016 | B2 | 19920715 | | |
| | CA 2005476 | AA | 19900615 | CA 1989-2005476 | 19891214 |
| | US 5089499 | Α | 19920218 | US 1989-450670 | 19891214 |
| | DK 8906366 | Α | 19900616 | DK 1989-6366 | 19891215 |
| | JP 02218668 | A2 | 19900831 | JP 1989-324135 | 19891215 |
| | US 5252573 | Α | 19931012 | US 1991-793183 | 19911118 |
| | US 5395838 | Α | 19950307 | US 1993-91828 | 19930713 |
| PRAI | GB 1988-29296 | Α | 19881215 | | |
| | US 1989-450670 | A3 | 19891214 | | |
| | US 1991-793183 | A3 | 19911118 | | |
| os | MARPAT 114:23978 | | | | |
| GI | | | | | |

AB Title compds. I (R4 = H, H2N, C1-6 alkyl, C1-6 alkoxy, substituted C1-3 alkyl, C1-3 hydroxyalkoxy, C1-6 alkoxyalkoxy; R2 = H, C1-6 alkyl, -alkenyl, -alkynyl, -hydroxyalkyl, -haloalkyl, -cyanoalkyl; Ar = (substituted) phenylene, -heterocyclene; L = CONH, NHCO, CH:CH, etc.; Y = C1-10 aryl, -hydrogenated aryl, -heteroaryl, etc.) or a pharmaceutically-acceptable salt thereof, are prepared (PhO)2PON3 and Et3N were added successively to a mixture of p-[N-(3,4-dihydro-2-methyl-4- oxoquinazolin-6-methyl)-N-prop-2-ynylamino]benzoic acid-trifluoroacetic acid salt and DMSO. The mixture was stirred for 5 h followed by 3-(aminomethyl)pyridine to give I (R1 = H; R2 = HC.tplbond.CCH2; ArL = C6H4CO; Y = 3-pyridylmethyl). Similarly prepared was I (R1 = Me; R2 = HC.tplbond.CCH2, L = NHCO; Y = 2-pyridylmethyl) (II). II showed an IC50 of 3.9 μM against L1210 cell line. Pharmaceutical formulations comprising I are given.

IT 131052-26-1P 131052-27-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antitumor agent)

RN 131052-26-1 CAPLUS

CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N-[3-(hexahydro-2-oxo-1H-azepin-1-yl)propyl]- (9CI) (CA INDEX NAME)

RN 131052-27-2 CAPLUS

CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]methylami no]-N-[3-(hexahydro-2-oxo-1H-azepin-1-yl)propyl]- (9CI) (CA INDEX NAME)

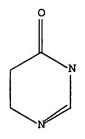
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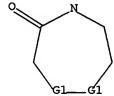
G1 C, O, S, N

Structure attributes must be viewed using STN Express query preparation. L2 QUE ABB=ON PLU=ON L1

L11 HAS NO ANSWERS

L10 STR





G1 C, O, S, N

Structure attributes must be viewed using STN Express query preparation. L11 QUE ABB=ON PLU=ON L10

(FILE 'REGISTRY' ENTERED AT 16:24:46 ON 24 MAR 2006)

DEL HIS Y L1STRUCTURE UPLOADED L2 QUE L1 L3 1 S L2 L439 S L2 FUL L5 STRUCTURE UPLOADED L6 QUE L5 L7 1 S L6 SAM SUB=L4 rs39 S L6 FUL SUB=L4

FILE 'CAPLUS' ENTERED AT 16:27:33 ON 24 MAR 2006
L9

FILE 'REGISTRY' ENTERED AT 16:29:12 ON 24 MAR 2006
L10

STRUCTURE UPLOADED
L11

QUE L10

L12

0 S L11 SAM SUB=L4
L13

18 S L11 FUL SUB=L4
L14

21 S L4 NOT L13

FILE 'CAPLUS' ENTERED AT 16:30:00 ON 24 MAR 2006

FILE 'CAPLUS' ENTERED AT 16:30:00 ON 24 MAR 2006 L15 7 S L14

| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 36.69 | 514.04 |
| | | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -5.25 | -14.25 |
| | | |

STN INTERNATIONAL LOGOFF AT 16:30:55 ON 24 MAR 2006